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NEWS 2 NOV 21 CAS patent coverage to include exemplified prophetic  
substances identified in English-, French-, German-,  
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NEWS 3 NOV 26 MARPAT enhanced with FSORT command  
NEWS 4 NOV 26 CHEMSAFE now available on STN Easy  
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NEWS 10 JAN 07 WPIDS, WPINDEX, and WPIX enhanced Japanese Patent  
Classification Data  
NEWS 11 FEB 02 Simultaneous left and right truncation (SLART) added  
for CERAB, COMPUAB, ELCOM, and SOLIDSTATE  
NEWS 12 FEB 02 GENBANK enhanced with SET PLURALS and SET SPELLING  
NEWS 13 FEB 06 Patent sequence location (PSL) data added to USGENE  
NEWS 14 FEB 10 COMPENDEX reloaded and enhanced  
NEWS 15 FEB 11 WTEXTILES reloaded and enhanced  
NEWS 16 FEB 19 New patent-examiner citations in 300,000 CA/CAPLUS  
patent records provide insights into related prior  
art  
NEWS 17 FEB 19 Increase the precision of your patent queries -- use  
terms from the IPC Thesaurus, Version 2009.01  
NEWS 18 FEB 23 Several formats for image display and print options  
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NEWS 19 FEB 23 MEDLINE now offers more precise author group fields  
and 2009 MeSH terms  
NEWS 20 FEB 23 TOXCENTER updates mirror those of MEDLINE - more  
precise author group fields and 2009 MeSH terms  
NEWS 21 FEB 23 Three million new patent records blast AEROSPACE into  
STN patent clusters  
NEWS 22 FEB 25 USGENE enhanced with patent family and legal status  
display data from INPADOCDB  
NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,  
AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.  
  
NEWS HOURS STN Operating Hours Plus Help Desk Availability  
NEWS LOGIN Welcome Banner and News Items  
NEWS IPC8 For general information regarding STN implementation of IPC 8

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FILE 'HOME' ENTERED AT 10:41:05 ON 28 FEB 2009

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=> FILE REGISTRY

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

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5.50

FILE 'REGISTRY' ENTERED AT 10:56:10 ON 28 FEB 2009

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STRUCTURE FILE UPDATES: 26 FEB 2009 HIGHEST RN 1112548-05-6

DICTIONARY FILE UPDATES: 26 FEB 2009 HIGHEST RN 1112548-05-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Documents and Settings\ahughes\My Documents\10509368(2).str

L1 STRUCTURE UPLOADED

=> s l1 sss sam

SAMPLE SEARCH INITIATED 10:56:30 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 83649 TO ITERATE

2.4% PROCESSED 2000 ITERATIONS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.01

6 ANSWERS

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 1655766 TO 1690194  
PROJECTED ANSWERS: 4068 TO 5968

L2 6 SEA SSS SAM L1

=> s l1 sss ful

FULL SEARCH INITIATED 10:56:35 FILE 'REGISTRY'  
FULL SCREEN SEARCH COMPLETED - 1682782 TO ITERATE

59.4% PROCESSED 1000000 ITERATIONS 2759 ANSWERS  
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)  
SEARCH TIME: 00.00.15

FULL FILE PROJECTIONS: ONLINE \*\*INCOMPLETE\*\*  
BATCH \*\*INCOMPLETE\*\*  
PROJECTED ITERATIONS: 1682782 TO 1682782  
PROJECTED ANSWERS: 4438 TO 4846

L3 2759 SEA SSS FUL L1

=> file reg

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	186.84	192.34

FILE 'REGISTRY' ENTERED AT 10:58:03 ON 28 FEB 2009  
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STRUCTURE FILE UPDATES: 26 FEB 2009 HIGHEST RN 1112548-05-6  
DICTIONARY FILE UPDATES: 26 FEB 2009 HIGHEST RN 1112548-05-6

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH January 9, 2009.

Please note that search-term pricing does apply when  
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and  
predicted properties as well as tags indicating availability of  
experimental property data in the original document. For information  
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stndoc/properties.html>

=> file caplus

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.48	192.82

FILE 'CAPLUS' ENTERED AT 10:58:09 ON 28 FEB 2009  
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FILE COVERS 1907 - 28 Feb 2009 VOL 150 ISS 10  
FILE LAST UPDATED: 27 Feb 2009 (20090227/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

CAS Information Use Policies apply and are available at:

<http://www.cas.org/legal/infopolicy.html>

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l3

L4 403 L3

=> s aging or wrinkles

230344 AGING

4860 WRINKLES

L5 233981 AGING OR WRINKLES

=> s l4 and l3

403 L3

L6 403 L4 AND L3

=> s l4 and l5

L7 5 L4 AND L5

=> d ibib hitstr abs l7 1-5

L7 ANSWER 1 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:1175767 CAPLUS

DOCUMENT NUMBER: 145:483731

TITLE: Bismuth subgallate and vasoconstrictor combinations for treating nosebleeds

INVENTOR(S): Binder, Jeffrey E.

PATENT ASSIGNEE(S): Binyarco, LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 4pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060252726	A1	20061109	US 2005-122894	20050505
AU 2006285404	A1	20070308	AU 2006-285404	20060503
CA 2606851	A1	20070308	CA 2006-2606851	20060503
WO 2007027236	A2	20070308	WO 2006-US16922	20060503
WO 2007027236	A3	20070712		
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RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

EP 1885347 A2 20080213 EP 2006-824731 20060503

R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, YU

JP 2008540434 T 20081120 JP 2008-510151 20060503

IN 2007KN04514 A 20080404 IN 2007-KN4514 20071123

PRIORITY APPLN. INFO.: US 2005-122894 A 20050505

WO 2006-US16922 W 20060503

IT 914467-56-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)

(bismuth subgallate and vasoconstrictor combinations for treating nosebleeds)

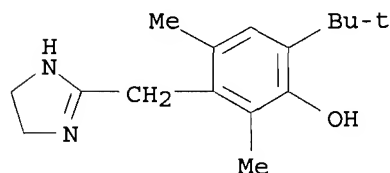
RN 914467-56-4 CAPLUS

CN 1,3,2-Benzodioxabismole-5-carboxylic acid, 2,7-dihydroxy-, mixt. with 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-(1,1-dimethylethyl)-2,4-dimethylphenol (9CI) (CA INDEX NAME)

CM 1

CRN 1491-59-4

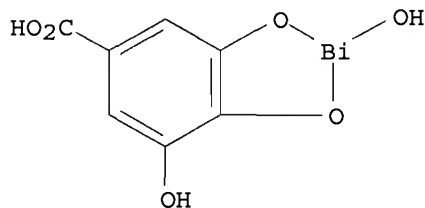
CMF C16 H24 N2 O



CM 2

CRN 99-26-3

CMF C7 H5 Bi O6



AB A composition and method for treating nosebleed, i.e., epistaxis. The composition comprises bismuth subgallate and a vasoconstriction agent, preferably oxymetazoline. The composition is preferably a paste administered intranasally. A paste composed of bismuth subgallate and oxymetazoline applied intranasally demonstrated hemostatic activity by inhibiting nosebleeds in patients treated with anticoagulant therapy.

L7 ANSWER 2 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2006:301792 CAPLUS

DOCUMENT NUMBER: 144:324862

TITLE: Compositions and methods using 5-HT6 receptor antagonists and 5-HT2A receptor antagonists for treating cognitive disorders

INVENTOR(S): Bonhaus, Douglas William; Martin, Renee Sharon

PATENT ASSIGNEE(S): Roche Palo Alto LLC, USA

SOURCE: U.S. Pat. Appl. Publ., 25 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060069094	A1	20060330	US 2005-241316	20051114
AU 2005291541	A1	20060413	AU 2005-291541	20050922
AU 2005291542	A1	20060413	AU 2005-291542	20050922
CA 2581921	A1	20060413	CA 2005-2581921	20050922
CA 2582273	A1	20060413	CA 2005-2582273	20050922
WO 2006037481	A1	20060413	WO 2005-EP10238	20050922
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RW:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM			
WO 2006037482	A2	20060413	WO 2005-EP10251	20050922
WO 2006037482	A3	20061019		
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CN 101031338	A	20070905	CN 2005-80033300	20050922
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JP 2008514662	T	20080508	JP 2007-533918	20050922
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BR 2005016754	A	20080916	BR 2005-16754	20050922
BR 2005016749	A	20080923	BR 2005-16749	20050922
US 20060106012	A1	20060518	US 2005-241632	20050930
US 7378415	B2	20080527		

MX 200703545	A	20070518	MX 2007-3545	20070326
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KR 875041	B1	20081219		
IN 2007CN01303	A	20070831	IN 2007-CN1303	20070329
IN 2007CN01308	A	20070831	IN 2007-CN1308	20070329
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KR 2008109903	A	20081217	KR 2008-726939	20081103
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			US 2004-630608P	P 20041124
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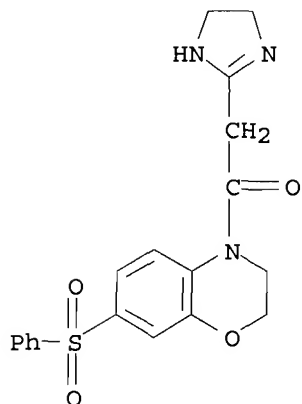
OTHER SOURCE(S): MARPAT 144:324862

IT 880544-35-4

RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL  
(Biological study); USES (Uses)  
(5-HT6 and 5-HT2A receptor antagonists for treatment of cognitive disorders)

RN 880544-35-4 CAPLUS

CN Ethanone, 2-(4,5-dihydro-1H-imidazol-2-yl)-1-[2,3-dihydro-7-(phenylsulfonyl)-4H-1,4-benzoxazin-4-yl]- (CA INDEX NAME)



AB The invention discloses methods and pharmaceutical compns. comprising selective antagonists of the 5-HT6 receptor and 5-HT2A receptor which are useful for the treatment of cognitive disorders.

L7 ANSWER 3 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:964827 CAPLUS

DOCUMENT NUMBER: 141:410926

TITLE: Preparation of dihydroimidazolylmethylindoles as  $\alpha$ -1 adrenergic agonists.

INVENTOR(S): Dillon, Michael Patrick; Lin, Clara Jeou Jen; Moore, Amy Geraldine; O'Yang, Counde; Zhai, Yansheng

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 40 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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US 20040224973	A1	20041111	US 2004-841288	20040507
US 6979696	B2	20051227		
AU 2004235923	A1	20041118	AU 2004-235923	20040430
AU 2004235923	B2	20080124		
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WO 2004099189	A1	20041118	WO 2004-EP4609	20040430
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AT 406363	T	20080915	AT 2004-739120	20040430
MX 2005011841	A	20060126	MX 2005-11841	20051103
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OTHER SOURCE(S): MARPAT 141:410926

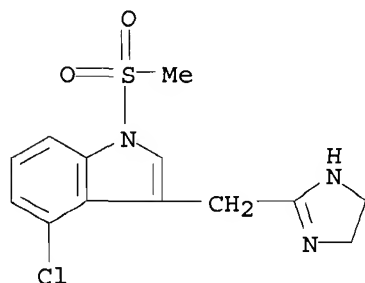
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydroimidazolylmethylindoles as  $\alpha$ -1 adrenergic agonists)

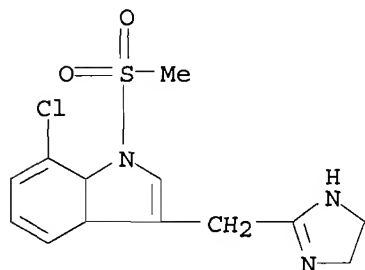
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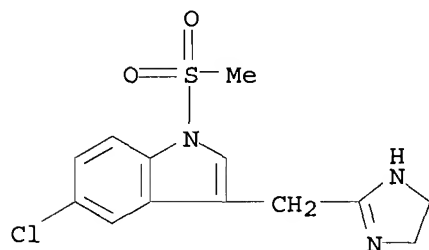




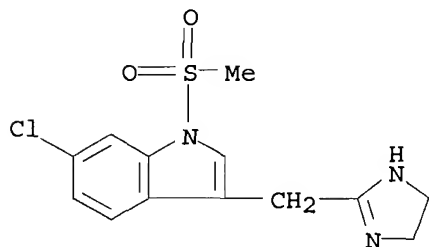
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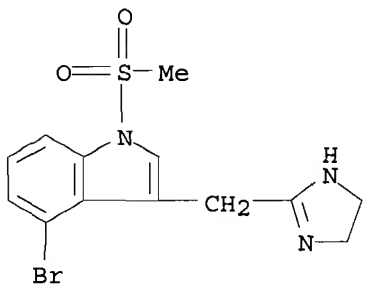
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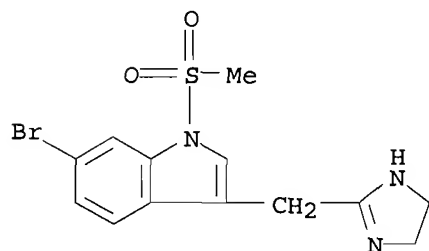
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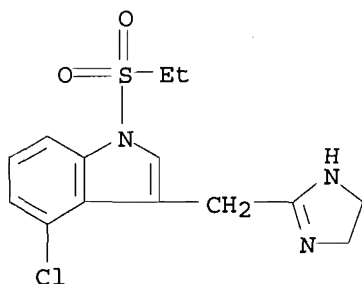
RN 791065-88-8 CAPLUS  
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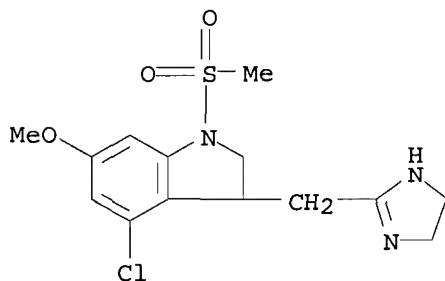
RN 791065-89-9 CAPLUS  
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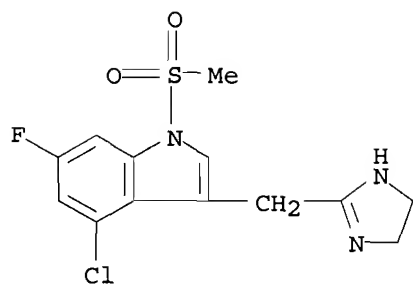
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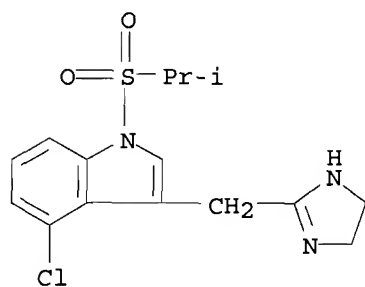
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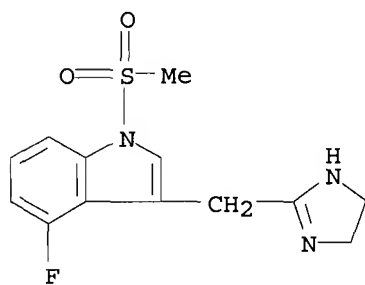
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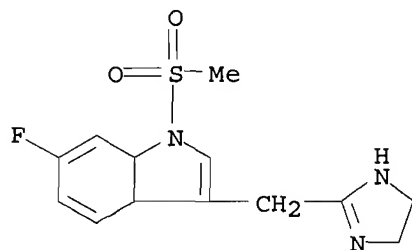
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RN 791065-94-6 CAPLUS  
 CN 1H-Indole, 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-4-fluoro-1-(methylsulfonyl)- (CA INDEX NAME)

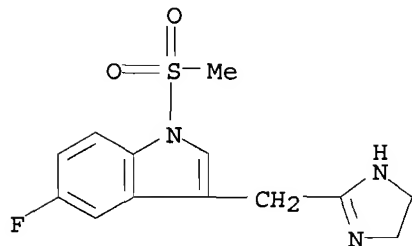


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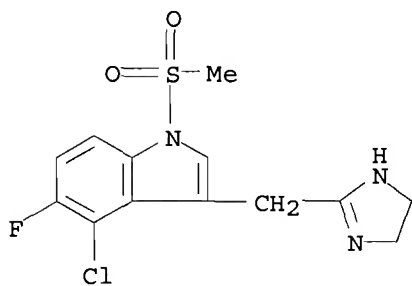
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(methylsulfonyl)- (CA INDEX NAME)



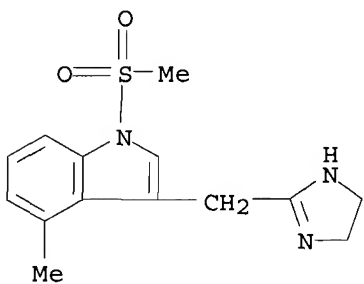
RN 791065-97-9 CAPLUS

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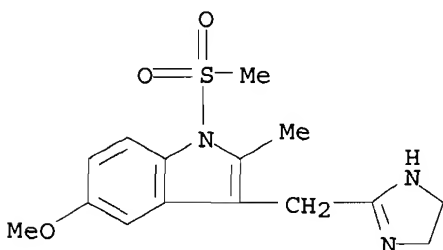
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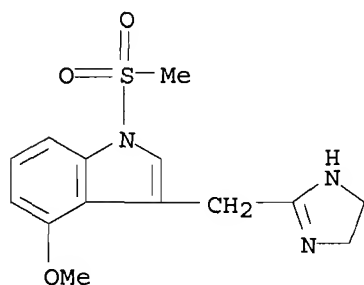


RN 791065-99-1 CAPLUS

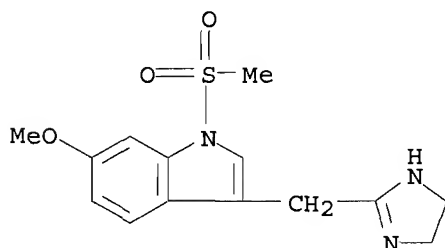
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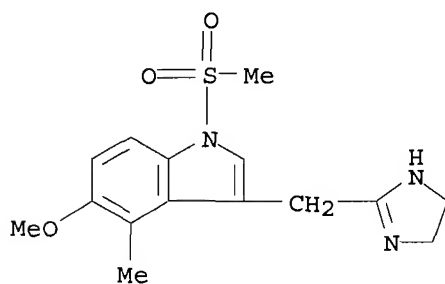
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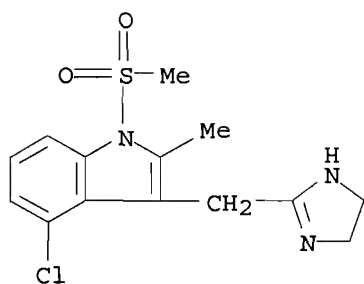
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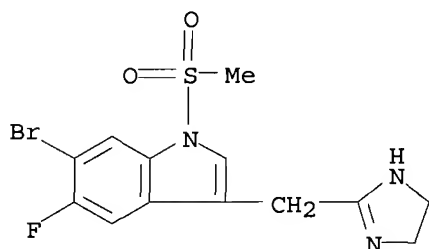
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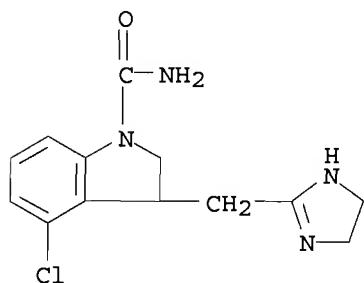
RN 791066-03-0 CAPLUS  
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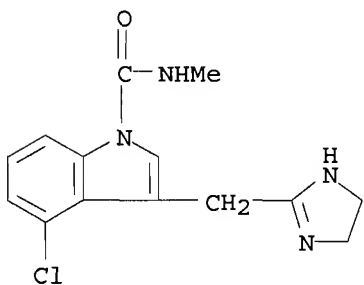
RN 791066-04-1 CAPLUS  
 CN 1H-Indole, 6-bromo-3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-5-fluoro-1-(methylsulfonyl)- (CA INDEX NAME)



RN 791066-07-4 CAPLUS  
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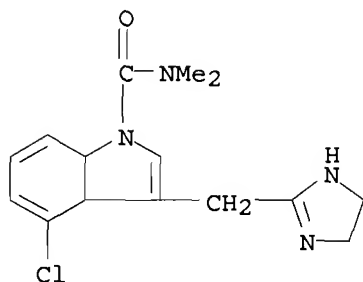


RN 791066-08-5 CAPLUS  
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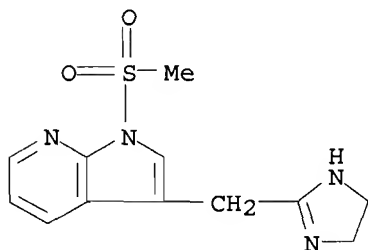
RN 791066-09-6 CAPLUS  
 CN 1H-Indole-1-carboxamide, 4-chloro-3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-

N,N-dimethyl- (CA INDEX NAME)



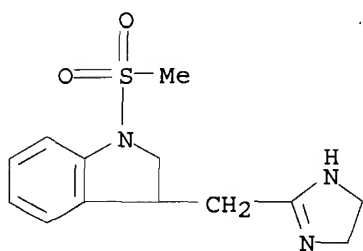
RN 791066-10-9 CAPLUS

CN 1H-Pyrrolo[2,3-b]pyridine, 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1-(methylsulfonyl)- (CA INDEX NAME)

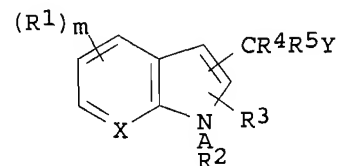


RN 791066-30-3 CAPLUS

CN 1H-Indole, 3-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1-(methylsulfonyl)- (CA INDEX NAME)



GI



I

AB Title compds. [I; m = 0-4; X = C, N; Y = (substituted) (dihydro)imidazolyl; R1 = halo, haloalkyl, alkyl, OH, alkoxy, cyano, NO2, SONRa, NRaRb, (substituted) Ph, PhCH2, PhCH2O; n = 0-2; Ra-Rd, R3-R5 = H, alkyl; R2 = alkyl, (CH2)pNRcRd], were prepared for treatment of e.g. Alzheimer's disease, incontinence, bulimia, sinusitis, etc. (no data).

Thus, (6-bromo-5-fluoro-1-methanesulfonyl-1H-indol-3-yl)acetonitrile (preparation given) in EtOH at 0° was treated with HCl gas and the mixture was refrigerated for 72 h to give a residue which was refluxed 24 h with ethylenediamine in MeOH to give 55% 6-bromo-3-(4,5-dihydro-1H-imidazol-2-ylmethyl)-5-fluoro-1-methanesulfonyl-1H-indole.

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 4 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:875271 CAPLUS

DOCUMENT NUMBER: 139:364930

TITLE: Preparation of N-(imidazolinylmethylaryl)alkanesulfonamides as  $\alpha$ 1 adrenergic agonists

INVENTOR(S): Dillon, Michael Patrick; Lin, Clara Jeou Jen; O'Yang, Counde; Zhang, Xiaoming

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 70 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003091236	A1	20031106	WO 2003-EP3904	20030415
W:			AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW	
RW:			GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG	
CA 2483345	A1	20031106	CA 2003-2483345	20030415
AU 2003227623	A1	20031110	AU 2003-227623	20030415
EP 1501817	A1	20050202	EP 2003-725029	20030415
R:			AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK	
BR 2003009668	A	20050301	BR 2003-9668	20030415
CN 1646516	A	20050727	CN 2003-808923	20030415
CN 1296365	C	20070124		
JP 2005534628	T	20051117	JP 2003-587796	20030415
NZ 535823	A	20070727	NZ 2003-535823	20030415
RU 2318814	C2	20080310	RU 2004-134326	20030415
US 20030229130	A1	20031211	US 2003-421119	20030422
US 6756395	B2	20040629		
US 20040214875	A1	20041028	US 2004-823012	20040413
US 7407980	B2	20080805		
ZA 2004008169	A	20051018	ZA 2004-8169	20041008
MX 2004010315	A	20050608	MX 2004-10315	20041019
IN 2004CN02383	A	20070803	IN 2004-CN2383	20041020
NO 2004004531	A	20041119	NO 2004-4531	20041021
HK 1080463	A1	20070413	HK 2006-100195	20060105

PRIORITY APPLN. INFO.:  
 US 2002-374700P P 20020423  
 US 2002-378775P P 20020508  
 WO 2003-EP3904 W 20030415  
 US 2003-421119 A1 20030422

OTHER SOURCE(S): MARPAT 139:364930

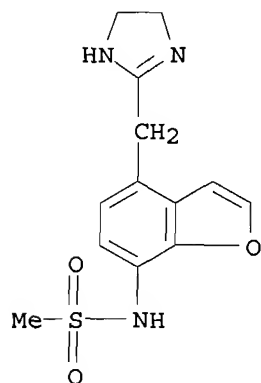
IT 620604-49-1P 620604-61-7P 620604-66-2P



RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
(preparation of N-(imidazolinylmethylaryl)alkanesulfonamides as  $\alpha$ 1 adrenergic agonists)

RN 620604-49-1 CAPLUS

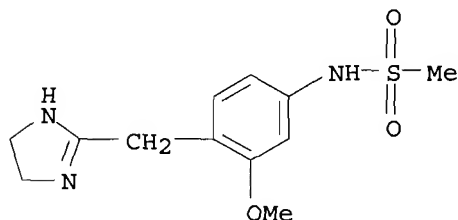
CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-7-benzofuranyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 620604-61-7 CAPLUS

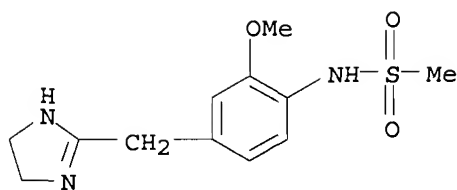
CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methoxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

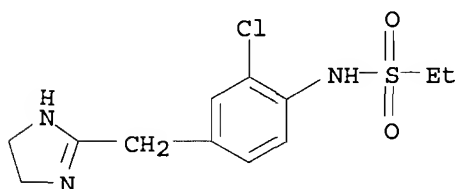
RN 620604-66-2 CAPLUS

CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



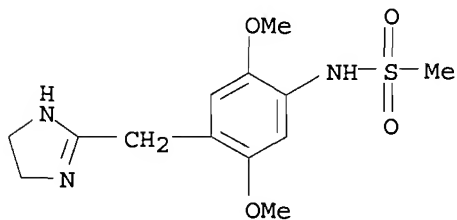
● HCl

IT 620604-52-6P 620604-78-6P 620604-82-2P  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(imidazolinylmethylaryl)alkanesulfonamides as  $\alpha 1$  adrenergic agonists)  
 RN 620604-52-6 CAPLUS  
 CN Ethanesulfonamide, N-[2-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



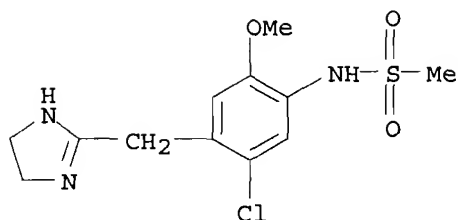
● HCl

RN 620604-78-6 CAPLUS  
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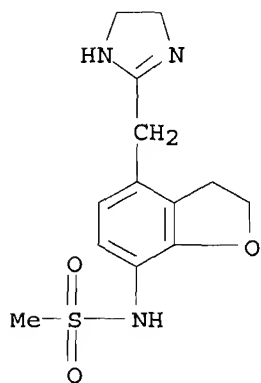
● HCl

RN 620604-82-2 CAPLUS  
 CN Methanesulfonamide, N-[5-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)

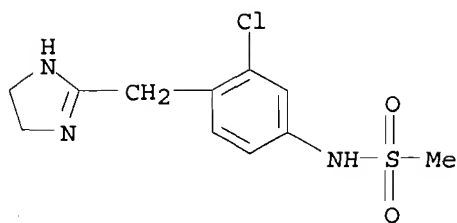


● HCl

IT 620604-50-4P, N-[4-(4,5-Dihydro-1H-imidazol-2-ylmethyl)-2,3-dihydrobenzofuran-7-yl]methanesulfonamide 620604-51-5P  
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 620604-84-4P 620604-85-5P 620604-86-6P  
 620604-87-7P  
 RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)  
 (preparation of N-(imidazolinylmethylaryl)alkanesulfonamides as  $\alpha$ 1 adrenergic agonists)  
 RN 620604-50-4 CAPLUS  
 CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2,3-dihydro-7-benzofuranyl]- (CA INDEX NAME)

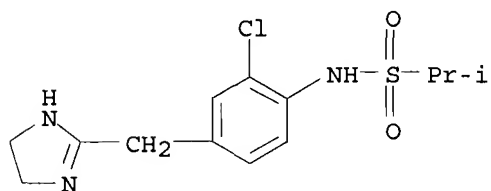


RN 620604-51-5 CAPLUS  
 CN Methanesulfonamide, N-[3-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



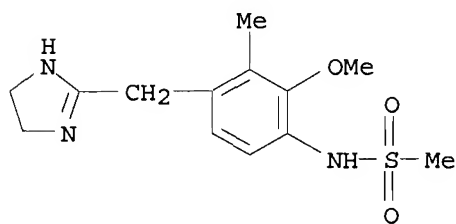
● HCl

RN 620604-53-7 CAPLUS  
 CN 2-Propanesulfonamide, N-[2-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 620604-60-6 CAPLUS  
 CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxy-3-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)

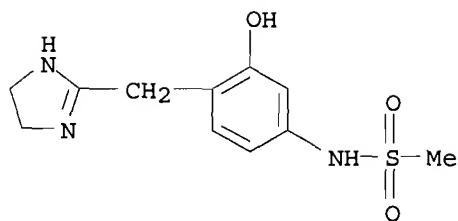


● HCl

RN 620604-63-9 CAPLUS  
 CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-hydroxyphenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

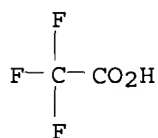
CRN 620604-62-8  
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CM 2

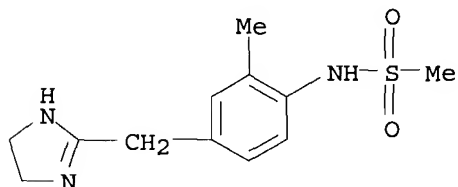
CRN 76-05-1

CMF C2 H F3 O2



RN 620604-64-0 CAPLUS

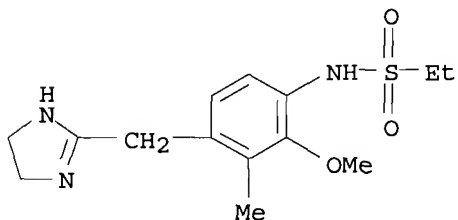
CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 620604-65-1 CAPLUS

CN Ethanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxy-3-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

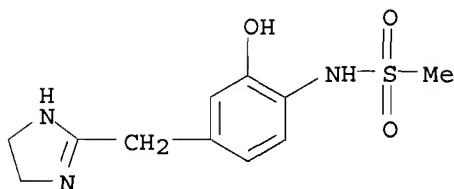
RN 620604-68-4 CAPLUS

CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-hydroxyphenyl]-, 2,2,2-trifluoroacetate (1:?) (CA INDEX NAME)

CM 1

CRN 620604-67-3

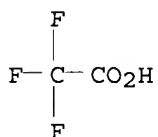
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CM 2

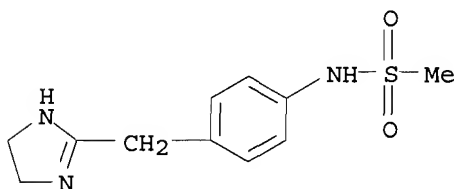
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RN 620604-69-5 CAPLUS

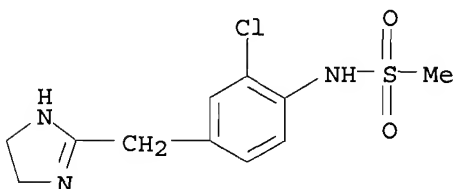
CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

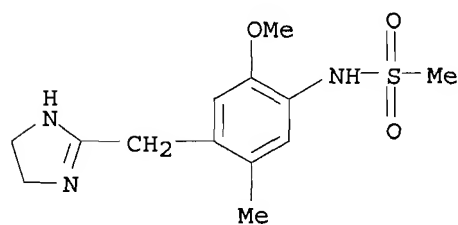
RN 620604-71-9 CAPLUS

CN Methanesulfonamide, N-[2-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



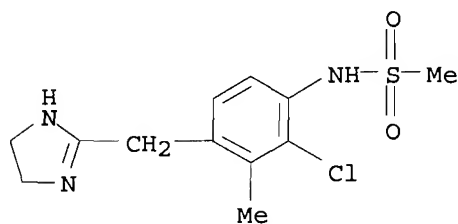
● HCl

RN 620604-75-3 CAPLUS  
 CN Methanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxy-5-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



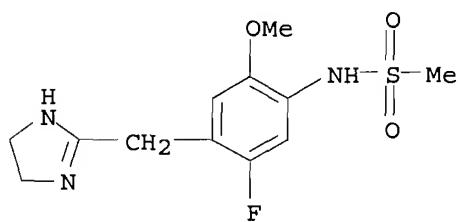
● HCl

RN 620604-76-4 CAPLUS  
 CN Methanesulfonamide, N-[2-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



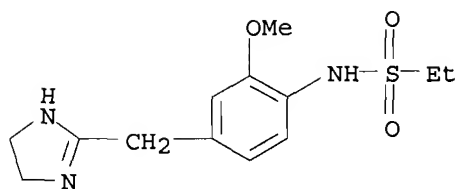
● HCl

RN 620604-77-5 CAPLUS  
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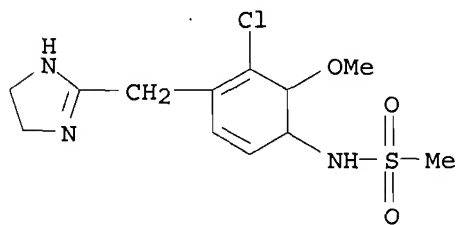
● HCl

RN 620604-79-7 CAPLUS  
 CN Ethanesulfonamide, N-[4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxyphenyl]- (CA INDEX NAME)



RN 620604-80-0 CAPLUS

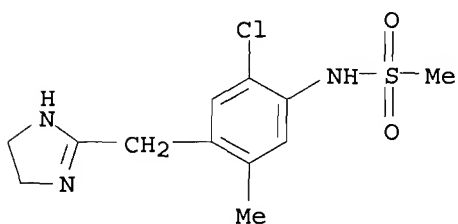
CN Methanesulfonamide, N-[3-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methoxyphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 620604-81-1 CAPLUS

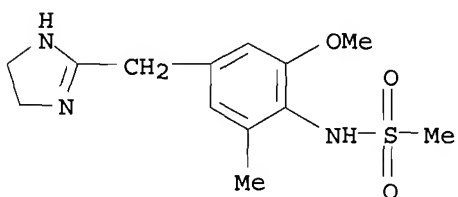
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● HCl

RN 620604-83-3 CAPLUS

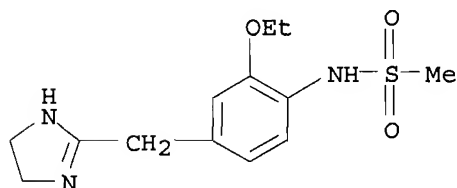
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● HCl

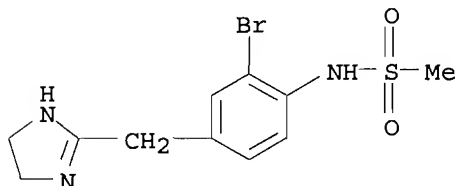


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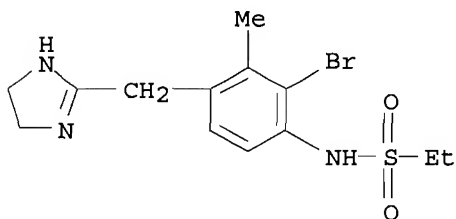
● HCl

RN 620604-85-5 CAPLUS  
CN Methanesulfonamide, N-[2-bromo-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]phenyl]-, hydrochloride (1:1) (CA INDEX NAME)



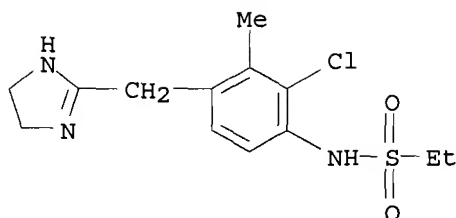
● HCl

RN 620604-86-6 CAPLUS  
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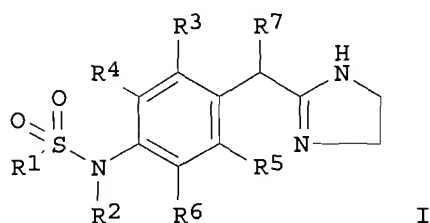
● HCl

RN 620604-87-7 CAPLUS  
CN Ethanesulfonamide, N-[2-chloro-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methylphenyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

GI



I

AB Sulfonamides I [R1 = alkyl, (un)substituted NH2; R2 = H, alkyl; R3-R6 = H, halogen, alkyl, (un)substituted OH, NH2, SH; R3R4 = atoms required to complete a cycloalkyl or heterocyclic ring; R7 = H, alkyl, (un)substituted OH] were prepared for use as  $\alpha$ 1 adrenergic agonists. Thus, Me 3-hydroxy-4-nitrobenzoate was O-allylated, rearranged to the 2-allyl derivative and oxidatively cyclized to Me 2-hydroxy-7-nitro-2,3-dihydrobenzofuran-4-carboxylate which was dehydrated, reduced to the amine, and converted to the methanesulfonamide. The ester group was then reduced to the alc., oxidized to the aldehyde, and treated with TosMIC to give the acetonitrile derivative which was cyclized with H2NCH2CH2NH2 to give I [R1 = Me, R2, R5-R7 = H, R3R4 = CH:CHO] which had pEC50 for  $\alpha$ 1A/L agonist activity in cloned Chinese hamster ovarian cells of 6.52.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 5 OF 5 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:610419 CAPLUS

DOCUMENT NUMBER: 139:149525

TITLE: Preparation of dihydroimidazolylmethylinroles and related compounds as  $\alpha$ 1 A/L adrenergic receptor agonists for use against incontinence and other disorders

INVENTOR(S): Greenhouse, Robert; Jaime-Figueroa, Saul; Raptova, Lubica

PATENT ASSIGNEE(S): F. Hoffmann-La Roche A.-G., Switz.

SOURCE: PCT Int. Appl., 105 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003064387	A2	20030807	WO 2003-EP644	20030123

WO 2003064387 A3 20041202  
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW  
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG  
CA 2473803 A1 20030807 CA 2003-2473803 20030123  
BR 2003007406 A 20041228 BR 2003-7406 20030123  
EP 1501826 A2 20050202 EP 2003-714714 20030123  
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CN 1628113 A 20050615 CN 2003-803184 20030123  
CN 100378095 C 20080402  
JP 2005522427 T 20050728 JP 2003-564010 20030123  
JP 4209332 B2 20090114  
AT 340792 T 20061015 AT 2003-714714 20030123  
ES 2271551 T3 20070416 ES 2003-714714 20030123  
AU 2003218962 B2 20080925 AU 2003-218962 20030123  
RU 2337909 C2 20081110 RU 2004-126613 20030123  
US 20030220319 A1 20031127 US 2003-355588 20030131  
US 6852726 B2 20050208  
MX 2004007414 A 20041011 MX 2004-7414 20040730

PRIORITY APPLN. INFO.:  
US 2002-353508P P 20020201  
US 2002-418492P P 20021015  
WO 2003-EP644 W 20030123

OTHER SOURCE(S): MARPAT 139:149525

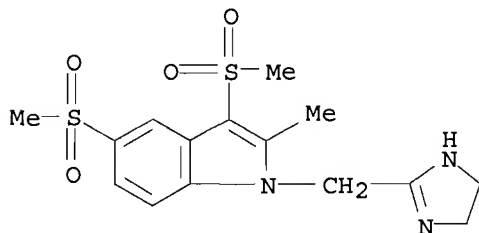
IT 1070014-95-7 1070014-96-8 1070014-97-9  
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1070015-01-8 1070015-02-9

RL: PRPH (Prophetic)

(Preparation of dihydroimidazolylmethylindoles and related compounds as  $\alpha$ 1 A/L adrenergic receptor agonists for use against incontinence and other disorders)

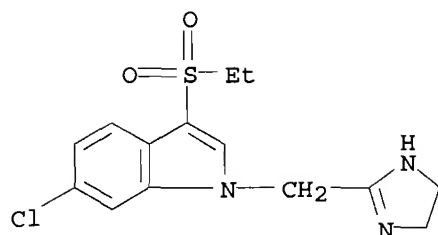
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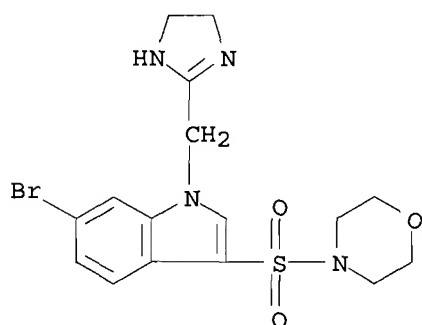


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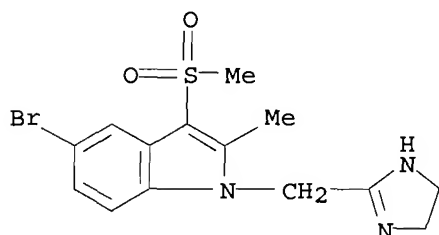
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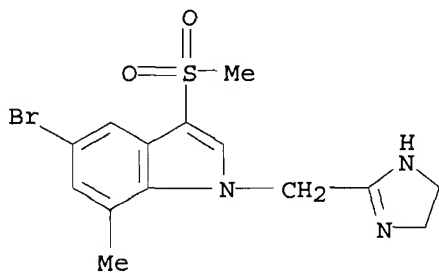
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RN 1070014-98-0 CAPLUS  
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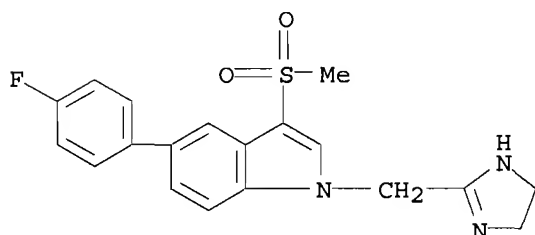


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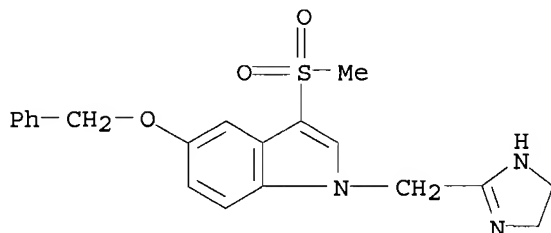
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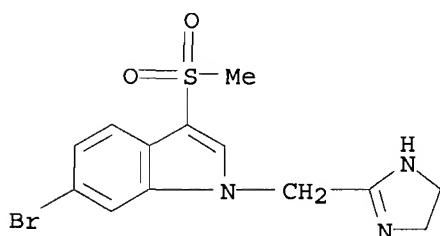
RN 1070015-01-8 CAPLUS

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RN 1070015-02-9 CAPLUS

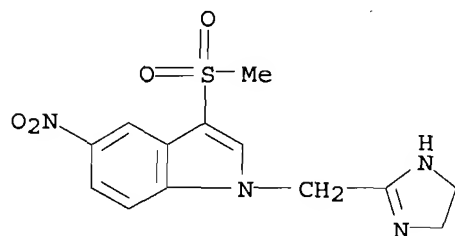
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 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)  
 (drug candidate; preparation of dihydroimidazolymethylindoles and related compds. as  $\alpha$ 1 A/L adrenergic receptor agonists for use against incontinence and other disorders)

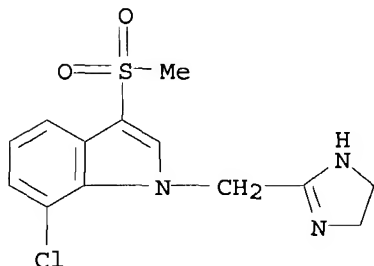
RN 573715-98-7 CAPLUS

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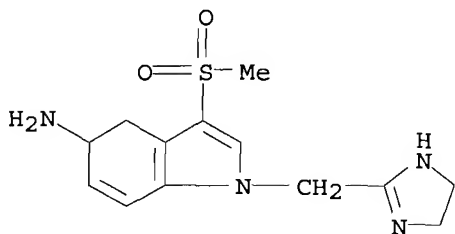
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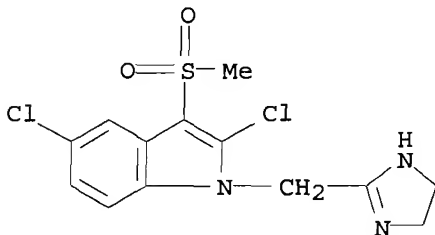
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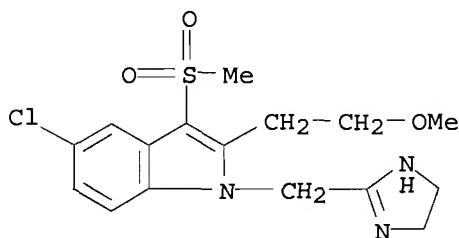


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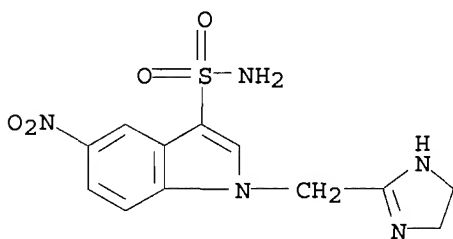
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RN 573716-50-4 CAPLUS  
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RN 573716-52-6 CAPLUS  
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6-Bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-carboxylic acid methylamide 573717-06-3P,  
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1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-carboxylic acid dimethylamide 573717-12-1P,  
7-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic acid dimethylamide 573717-14-3P,  
4-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic

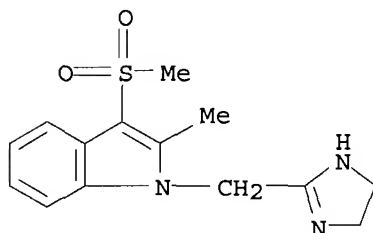


acid dimethylamide 573717-16-5P,  
 6-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-carboxylic  
 acid dimethylamide 573717-28-9P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic  
 acid allylamide 573717-29-0P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(pyrrolidin-1-  
 ylsulfonyl)-1H-indole 573717-30-3P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic  
 acid N-(cyclopropylmethyl)amide 573717-31-4P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic  
 acid N-(2-hydroxyethyl)-N-methylamide 573717-32-5P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic  
 acid N-(2-hydroxyethyl)amide 573717-33-6P,  
 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(morpholin-4-  
 ylsulfonyl)-1H-indole 573717-34-7P,  
 7-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-carboxylic  
 acid amide 573717-35-8P,  
 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic acid  
 diallylamide 573717-36-9P,  
 6-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic  
 acid dimethylamide 573717-37-0P,  
 6-Bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic acid  
 dimethylamide 573717-38-1P,  
 6-Bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonic acid  
 methylamide 573717-39-2P,  
 6-Bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(pyrrolidin-1-  
 ylsulfonyl)-1H-indole  
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU  
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES  
 (Uses)

(drug candidate; preparation of dihydroimidazolylmethylindoles and related  
 compds. as  $\alpha$ 1 A/L adrenergic receptor agonists for use against  
 incontinence and other disorders)

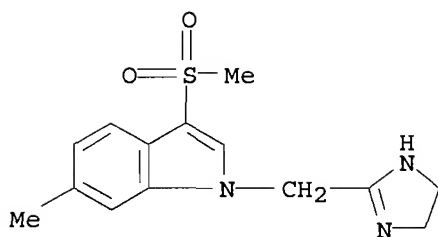
RN 573715-68-1 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3-  
 (methylsulfonyl)- (CA INDEX NAME)



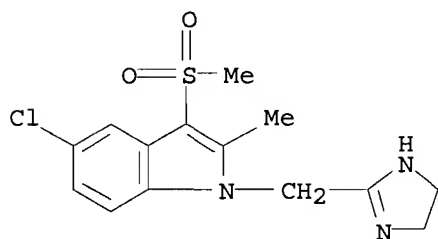
RN 573715-78-3 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-methyl-3-  
 (methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)

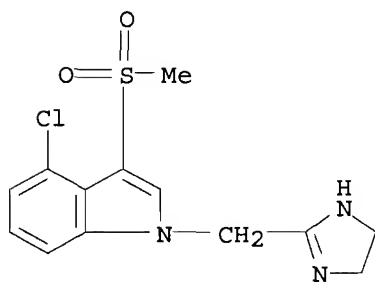


●x HCl

RN 573715-80-7 CAPLUS  
 CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)

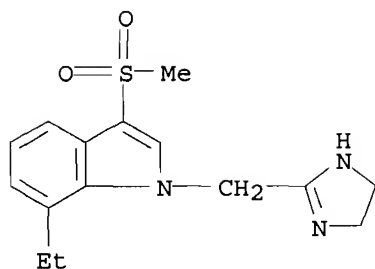


RN 573715-81-8 CAPLUS  
 CN 1H-Indole, 4-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)



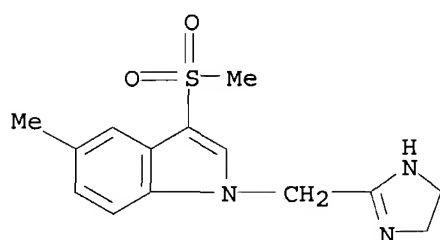
●x HCl

RN 573715-82-9 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-7-ethyl-3-(methylsulfonyl)- (CA INDEX NAME)



RN 573715-83-0 CAPLUS

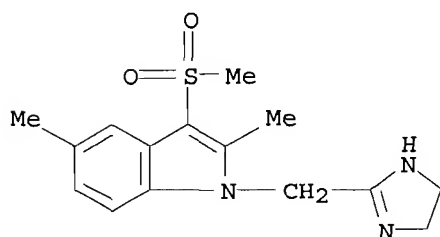
CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-5-methyl-3-(methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

RN 573715-84-1 CAPLUS

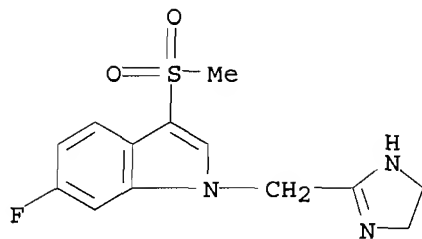
CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2,5-dimethyl-3-(methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)



●x HCl

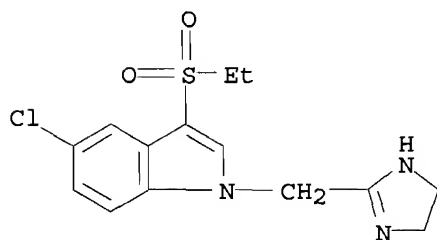
RN 573715-85-2 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-fluoro-3-(methylsulfonyl)-, hydrochloride (1:?) (CA INDEX NAME)

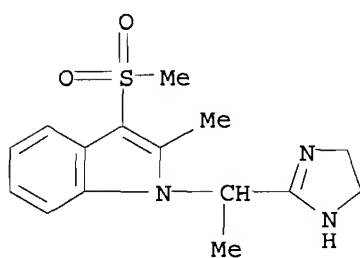


● x HCl

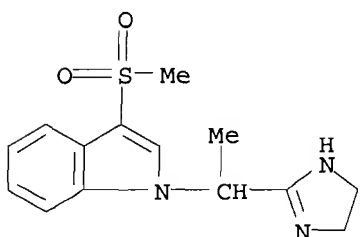
RN 573715-86-3 CAPLUS  
 CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(ethylsulfonyl)- (CA INDEX NAME)



RN 573715-87-4 CAPLUS  
 CN 1H-Indole, 1-[1-(4,5-dihydro-1H-imidazol-2-yl)ethyl]-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)

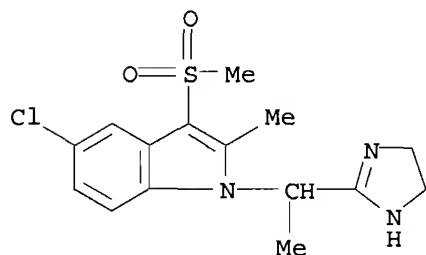


RN 573715-88-5 CAPLUS  
 CN 1H-Indole, 1-[1-(4,5-dihydro-1H-imidazol-2-yl)ethyl]-3-(methylsulfonyl)- (CA INDEX NAME)



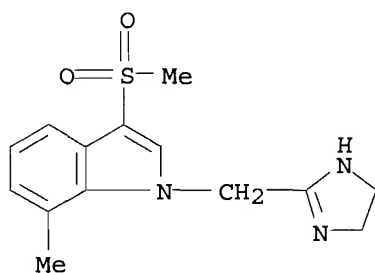
RN 573715-89-6 CAPLUS

CN 1H-Indole, 5-chloro-1-[1-(4,5-dihydro-1H-imidazol-2-yl)ethyl]-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



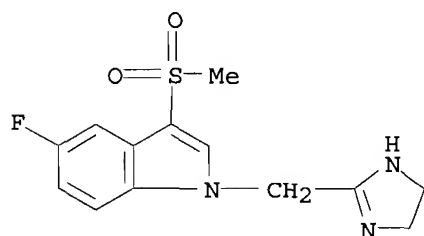
RN 573715-92-1 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-7-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



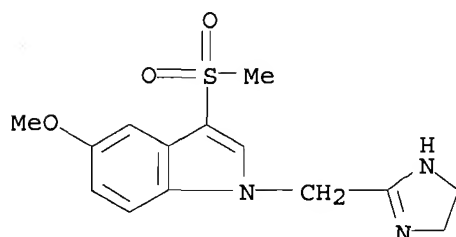
RN 573715-96-5 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-5-fluoro-3-(methylsulfonyl)- (CA INDEX NAME)

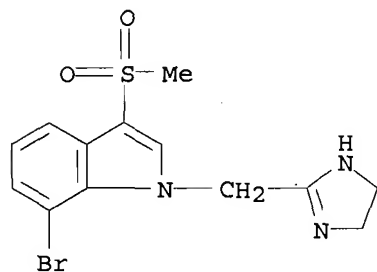


RN 573715-97-6 CAPLUS

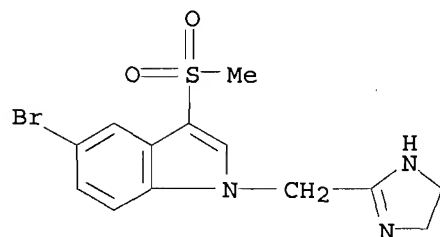
CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-5-methoxy-3-(methylsulfonyl)- (CA INDEX NAME)



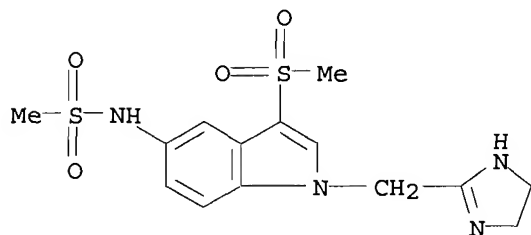
RN 573715-99-8 CAPLUS  
 CN 1H-Indole, 7-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)- (CA INDEX NAME)



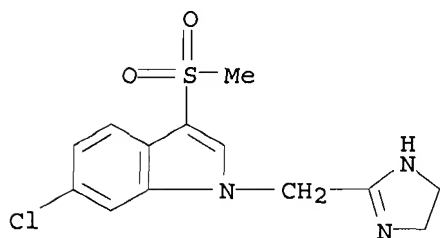
RN 573716-00-4 CAPLUS  
 CN 1H-Indole, 5-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)- (CA INDEX NAME)



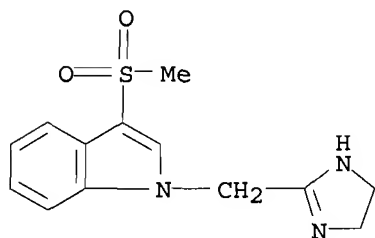
RN 573716-04-8 CAPLUS  
 CN Methanesulfonamide, N-[1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-1H-indol-5-yl]- (CA INDEX NAME)



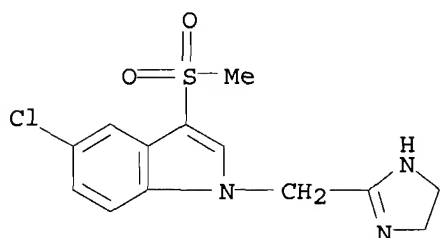
RN 573716-05-9 CAPLUS  
 CN 1H-Indole, 6-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)- (CA INDEX NAME)



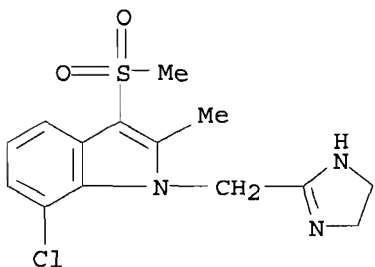
RN 573716-08-2 CAPLUS  
CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-  
(CA INDEX NAME)



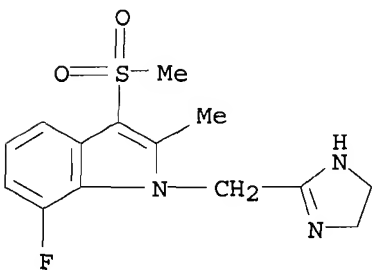
RN 573716-09-3 CAPLUS  
CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)- (CA INDEX NAME)



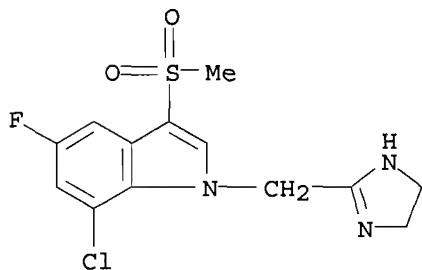
RN 573716-10-6 CAPLUS  
CN 1H-Indole, 7-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



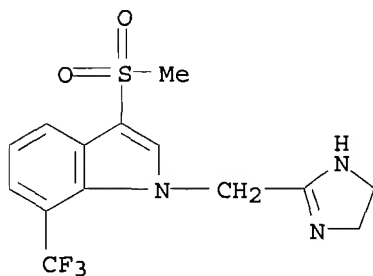
RN 573716-14-0 CAPLUS  
CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-7-fluoro-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



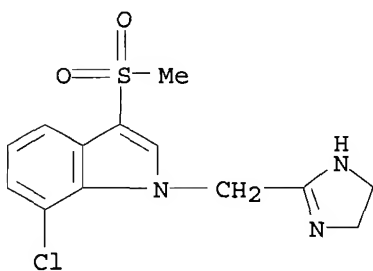
RN 573716-15-1 CAPLUS  
 CN 1H-Indole, 7-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-5-fluoro-3-(methylsulfonyl)- (CA INDEX NAME)



RN 573716-16-2 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-7-(trifluoromethyl)- (CA INDEX NAME)



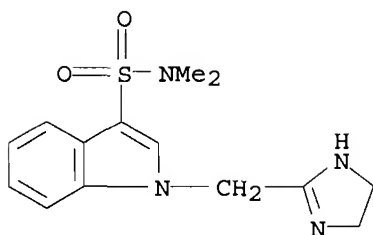
RN 573716-17-3 CAPLUS  
 CN 1H-Indole, 7-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

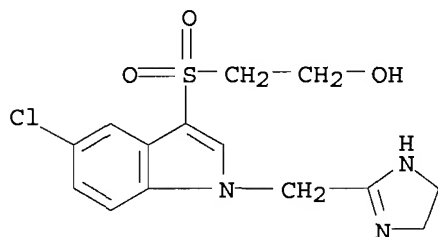
RN 573716-24-2 CAPLUS  
 CN 1H-Indole-3-sulfonamide, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)





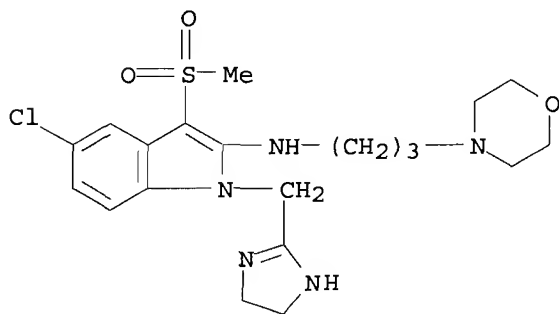
RN 573716-28-6 CAPLUS

CN Ethanol, 2-[[5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-1H-indol-3-yl]sulfonyl]- (CA INDEX NAME)



RN 573716-40-2 CAPLUS

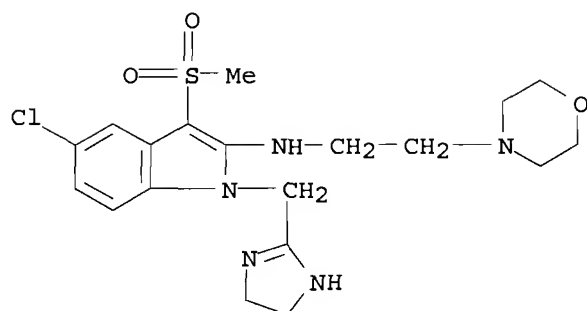
CN 1H-Indol-2-amine, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-N-[3-(4-morpholinyl)propyl]-, hydrochloride (1:1) (CA INDEX NAME)



● HCl

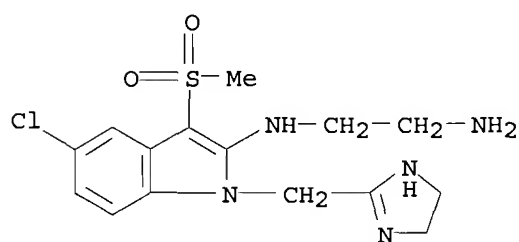
RN 573716-41-3 CAPLUS

CN 1H-Indol-2-amine, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-N-[2-(4-morpholinyl)ethyl]- (CA INDEX NAME)



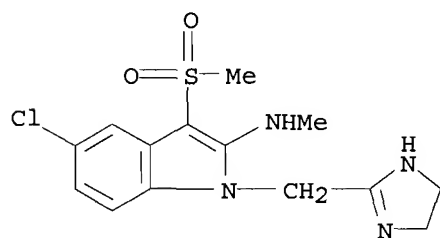
RN 573716-42-4 CAPLUS

CN 1,2-Ethanediamine, N1- [5-chloro-1- [(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-1H-indol-2-yl]- (CA INDEX NAME)



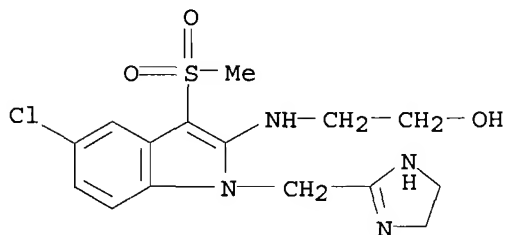
RN 573716-43-5 CAPLUS

CN 1H-Indol-2-amine, 5-chloro-1- [(4,5-dihydro-1H-imidazol-2-yl)methyl]-N-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



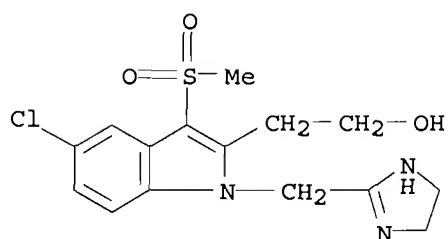
RN 573716-44-6 CAPLUS

CN Ethanol, 2- [[5-chloro-1- [(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-1H-indol-2-yl]amino]- (CA INDEX NAME)

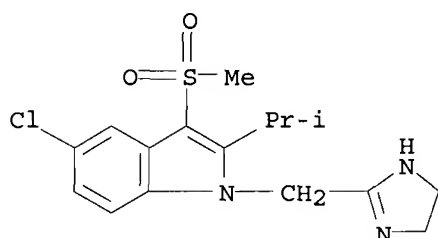


RN 573716-49-1 CAPLUS

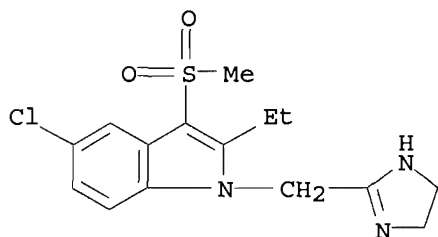
CN 1H-Indole-2-ethanol, 5-chloro-1- [(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)- (CA INDEX NAME)



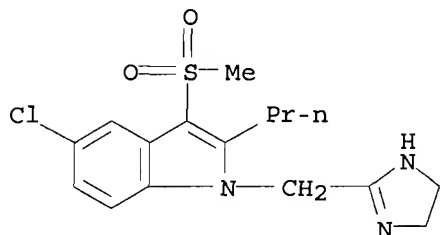
RN 573716-56-0 CAPLUS  
 CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-(1-methylethyl)-3-(methylsulfonyl)- (CA INDEX NAME)



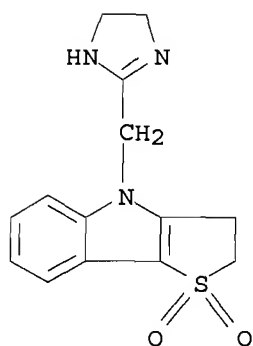
RN 573716-63-9 CAPLUS  
 CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-ethyl-3-(methylsulfonyl)- (CA INDEX NAME)



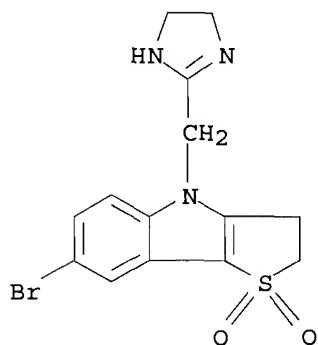
RN 573716-65-1 CAPLUS  
 CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(methylsulfonyl)-2-propyl- (CA INDEX NAME)



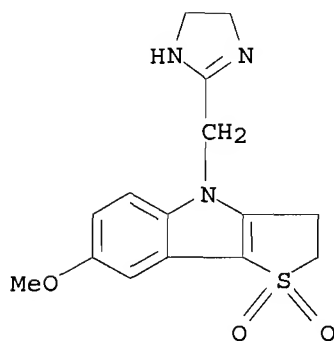
RN 573716-67-3 CAPLUS  
 CN 2H-Thieno[3,2-b]indole, 4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3,4-dihydro-, 1,1-dioxide (CA INDEX NAME)



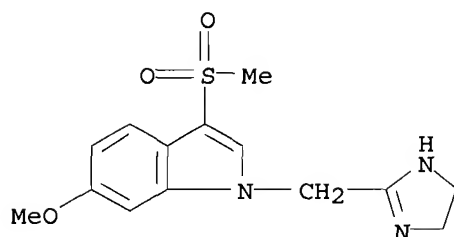
RN 573716-71-9 CAPLUS  
 CN 2H-Thieno[3,2-b]indole, 7-bromo-4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3,4-dihydro-, 1,1-dioxide (CA INDEX NAME)



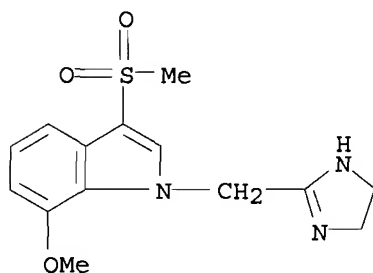
RN 573716-73-1 CAPLUS  
 CN 2H-Thieno[3,2-b]indole, 4-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3,4-dihydro-7-methoxy-, 1,1-dioxide (CA INDEX NAME)



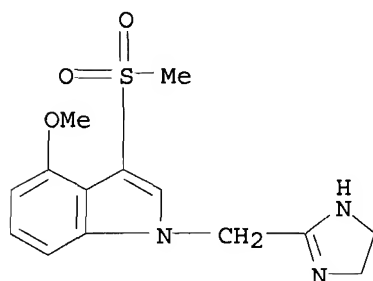
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 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-methoxy-3-(methylsulfonyl)- (CA INDEX NAME)



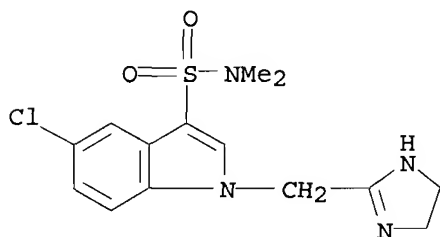
RN 573716-80-0 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-7-methoxy-3-(methylsulfonyl)- (CA INDEX NAME)



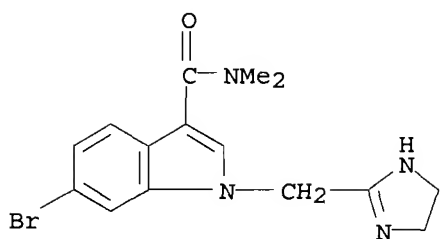
RN 573716-82-2 CAPLUS  
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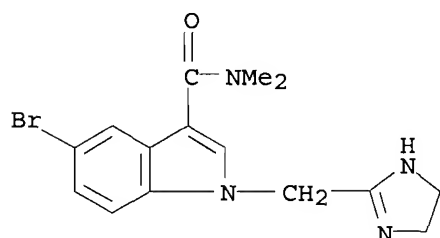
RN 573716-84-4 CAPLUS  
 CN 1H-Indole-3-sulfonamide, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)



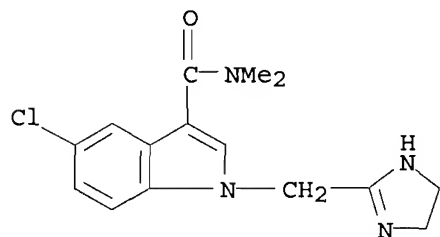
RN 573716-90-2 CAPLUS  
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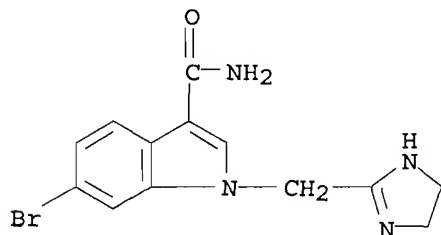
RN 573716-98-0 CAPLUS  
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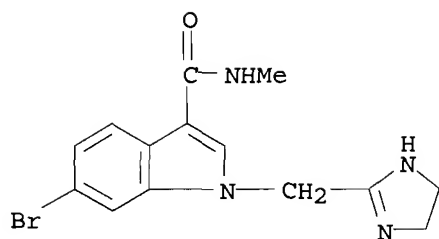
RN 573717-00-7 CAPLUS  
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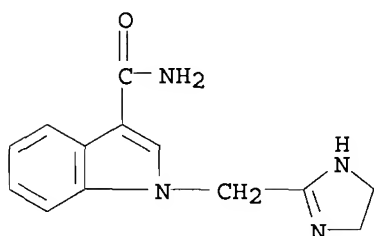
RN 573717-02-9 CAPLUS  
 CN 1H-Indole-3-carboxamide, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-  
 (CA INDEX NAME)



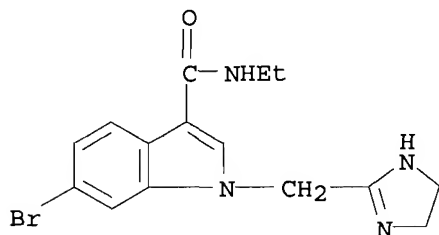
RN 573717-04-1 CAPLUS  
 CN 1H-Indole-3-carboxamide, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-  
 N-methyl- (CA INDEX NAME)



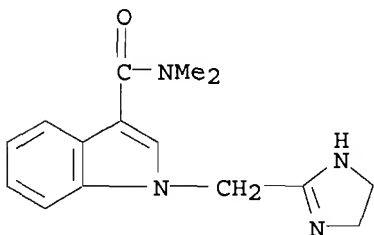
RN 573717-06-3 CAPLUS  
 CN 1H-Indole-3-carboxamide, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]- (CA INDEX NAME)



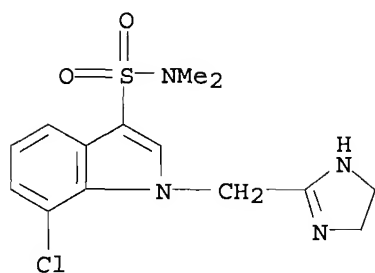
RN 573717-08-5 CAPLUS  
 CN 1H-Indole-3-carboxamide, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N-ethyl- (CA INDEX NAME)



RN 573717-10-9 CAPLUS  
 CN 1H-Indole-3-carboxamide, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)

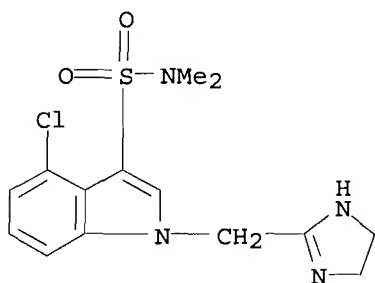


RN 573717-12-1 CAPLUS  
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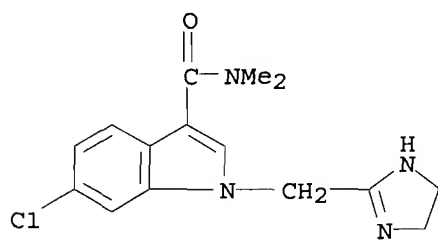
RN 573717-14-3 CAPLUS

CN 1H-Indole-3-sulfonamide, 4-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)



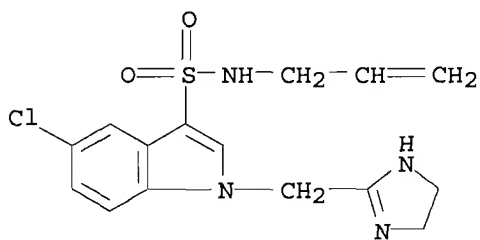
RN 573717-16-5 CAPLUS

CN 1H-Indole-3-carboxamide, 6-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-dimethyl- (CA INDEX NAME)



RN 573717-28-9 CAPLUS

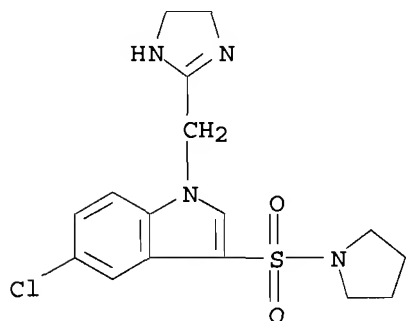
CN 1H-Indole-3-sulfonamide, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N-2-propen-1-yl- (CA INDEX NAME)



RN 573717-29-0 CAPLUS

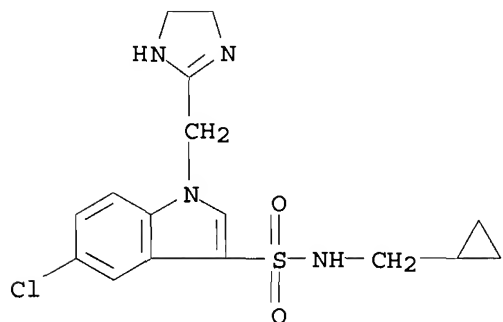


CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)



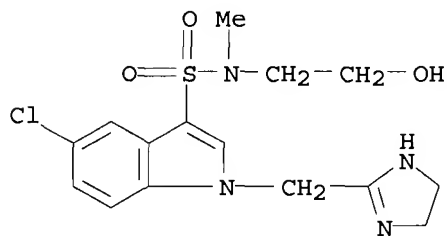
RN 573717-30-3 CAPLUS

CN 1H-Indole-3-sulfonamide, 5-chloro-N-(cyclopropylmethyl)-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]- (CA INDEX NAME)



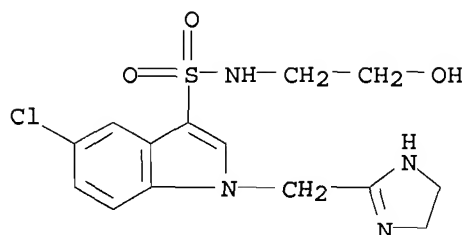
RN 573717-31-4 CAPLUS

CN 1H-Indole-3-sulfonamide, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N-(2-hydroxyethyl)-N-methyl- (CA INDEX NAME)



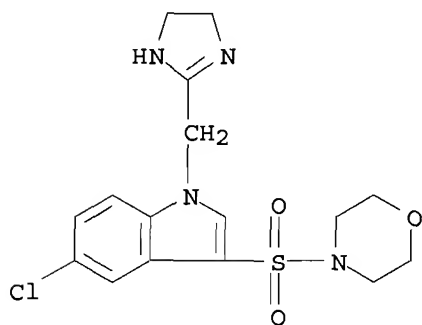
RN 573717-32-5 CAPLUS

CN 1H-Indole-3-sulfonamide, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N-(2-hydroxyethyl)- (CA INDEX NAME)



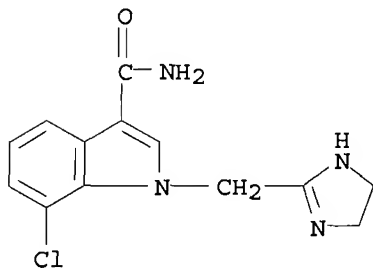
RN 573717-33-6 CAPLUS

CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(4-morpholynylsulfonyl)- (CA INDEX NAME)



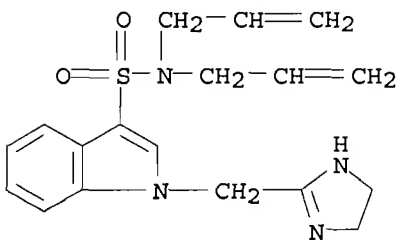
RN 573717-34-7 CAPLUS

CN 1H-Indole-3-carboxamide, 7-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]- (CA INDEX NAME)



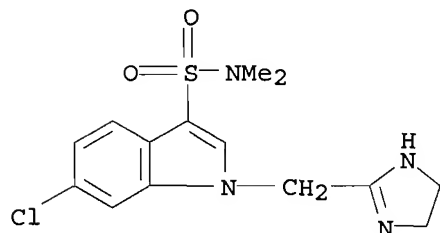
RN 573717-35-8 CAPLUS

CN 1H-Indole-3-sulfonamide, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-N,N-di-2-propen-1-yl- (CA INDEX NAME)

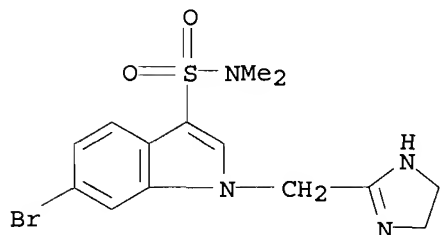


RN 573717-36-9 CAPLUS

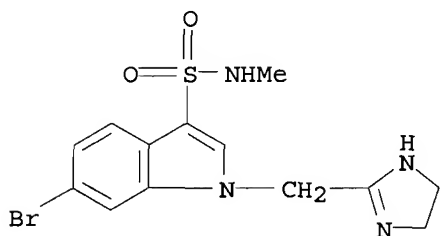
CN 1H-Indole-3-sulfonamide, 6-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-  
N,N-dimethyl- (CA INDEX NAME)



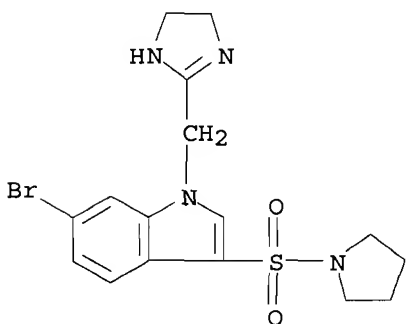
RN 573717-37-0 CAPLUS  
CN 1H-Indole-3-sulfonamide, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-  
N,N-dimethyl- (CA INDEX NAME)



RN 573717-38-1 CAPLUS  
CN 1H-Indole-3-sulfonamide, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-  
N-methyl- (CA INDEX NAME)

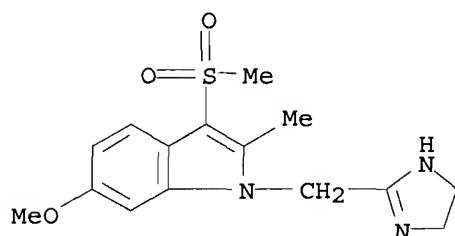


RN 573717-39-2 CAPLUS  
CN 1H-Indole, 6-bromo-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-(1-pyrrolidinylsulfonyl)- (CA INDEX NAME)

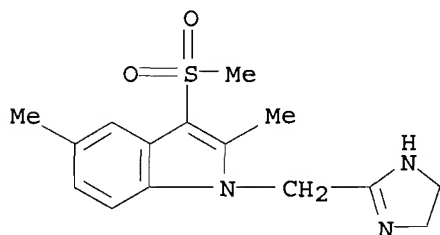


IT 573717-40-5, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-3-methanesulfonyl-6-methoxy-2-methyl-1H-indole 573717-41-6, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-3-methanesulfonyl-2,5-dimethyl-1H-indole 573717-42-7, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-3-(methanesulfonyl)-2-methyl-7-trifluoromethyl-1H-indole 573717-43-8, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-3,4-bis(methanesulfonyl)-2-methyl-1H-indole 573717-44-9, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-3,6-bis(methanesulfonyl)-2-methyl-1H-indole  
 RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)  
 (drug candidate; preparation of dihydroimidazolylmethylindoles and related compds. as  $\alpha$ 1 A/L adrenergic receptor agonists for use against incontinence and other disorders)

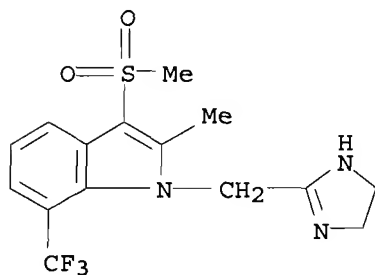
RN 573717-40-5 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-methoxy-2-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



RN 573717-41-6 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2,5-dimethyl-3-(methylsulfonyl)- (CA INDEX NAME)

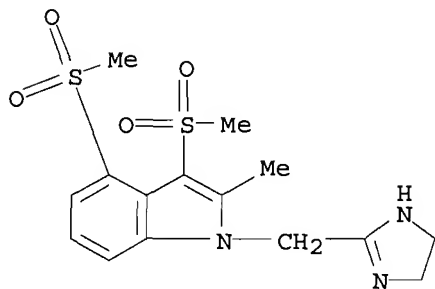


RN 573717-42-7 CAPLUS  
 CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3-(methylsulfonyl)-7-(trifluoromethyl)- (CA INDEX NAME)



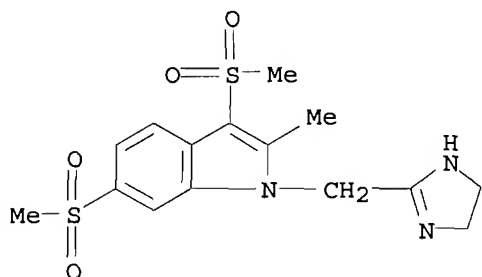
RN 573717-43-8 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3,4-bis(methylsulfonyl)- (CA INDEX NAME)



RN 573717-44-9 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-2-methyl-3,6-bis(methylsulfonyl)- (CA INDEX NAME)



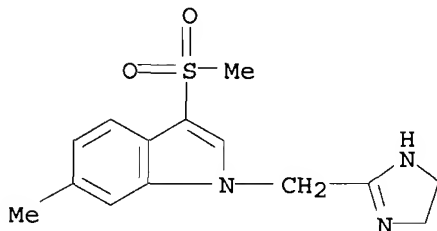
IT 596807-97-5P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of dihydroimidazolylmethylinroles and related compds. as  $\alpha$ 1 A/L adrenergic receptor agonists for use against incontinence and other disorders)

RN 596807-97-5 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-6-methyl-3-(methylsulfonyl)- (CA INDEX NAME)



IT 573716-33-3P, 5-Chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-[2-[(tetrahydropyran-2-yl)oxy]ethanesulfonyl]-1H-indole

573717-22-3P, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-1H-indole

573717-24-5P, 1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-

sulfonic acid monohydrochloride 573717-26-7P,

1-[(4,5-Dihydro-1H-imidazol-2-yl)methyl]-1H-indole-3-sulfonyl chloride monohydrochloride

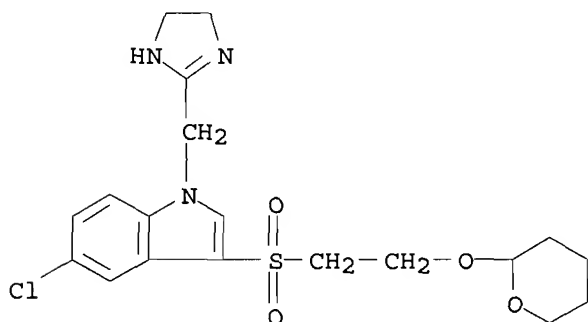
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

(Reactant or reagent)

(preparation of dihydroimidazolylmethylindeles and related compds. as  
α1 A/L adrenergic receptor agonists for use against incontinence  
and other disorders)

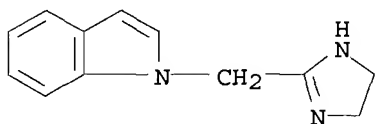
RN 573716-33-3 CAPLUS

CN 1H-Indole, 5-chloro-1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-[[2-  
[(tetrahydro-2H-pyran-2-yl)oxy]ethyl]sulfonyl]- (CA INDEX NAME)



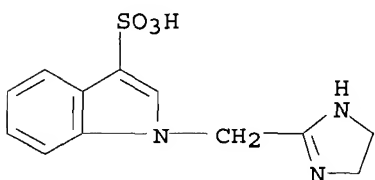
RN 573717-22-3 CAPLUS

CN 1H-Indole, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]- (CA INDEX NAME)



RN 573717-24-5 CAPLUS

CN 1H-Indole-3-sulfonic acid, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-,  
hydrochloride (1:1) (CA INDEX NAME)



● HCl

RN 573717-26-7 CAPLUS

CN 1H-Indole-3-sulfonyl chloride, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-,  
hydrochloride (1:1) (CA INDEX NAME)



I

AB This invention relates to indoles (shown as I; variables defined below; e.g. 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methanesulfonyl-2-methyl-1H-indole) that are  $\alpha 1$  receptor agonists, preferably  $\alpha 1$  A/L receptor agonists; or individual isomers, racemic or nonracemic mixts. of isomers, or pharmaceutically acceptable salts or solvates thereof. The invention further relates to pharmaceutical compns. containing such compds., their preparation and their use as therapeutic agents, e.g. incontinence, sexual dysfunction, nasal congestion, sinusitis, otitis, depression, anxiety, dementia, senility, Alzheimer's, deficiencies in attentiveness and cognition, eating disorders, obesity, bulimia, and anorexia. A number of pharmaceutical compns. are described.  $PEC_{50}$  and intrinsic agonist activity values for 9 examples of I are tabulated. Nineteen example prepn. of I are included. For example, 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methanesulfonyl-2-methyl-1H-indole was prepared in 5 steps: (1) to prepare (2-methyl-1H-indol-3-yl)dimethylsulfonium chloride N-chlorosuccinimide (29.35 mmol) was suspended in dichloroethane (40 mL) under a  $N_2$  atmosphere and cooled to  $-10^\circ$  using an ice-salt-acetone bath. Di-Me sulfide (3 mL) was slowly added with stirring over a period of .apprx.5 min. The mixture was stirred at this temperature for 10 min beyond the addition, at which time the ice-salt-acetone bath was replaced by a dry-ice acetone bath and the temperature was lowered to  $-50^\circ$ . To this solution was added 2-methylindole (29.35 mmol) dissolved in dichloroethane (40 mL) slowly with stirring. The reaction mixture was stirred while allowing the temperature to reach  $20^\circ$  over about an hour. To prepare 2-methyl-3-methylthio-1H-indole (2-methyl-1H-indol-3-yl)dimethylsulfonium chloride (2 g) was placed under vacuum in a flask connected to a tube and distillation bulb receiver and gently warmed with a heat gun until bubbling of gas commenced. The sample was heated intermittently until the bubbling ceased and no more product distilled over. To prepare (2-methyl-3-methylsulfanyllindol-1-yl)acetonitrile (1.05 g

of oil) 2-methyl-3-methylthio-1H-indole (6.21 mmol) was dissolved in toluene (25 mL). To this solution was added bromoacetonitrile (7.42 mmol) and Bu<sub>4</sub>NBr (1 g). With stirring, a solution of 4 g NaOH dissolved in 4 mL H<sub>2</sub>O was added. After 30 min another few drops of bromoacetonitrile were added to complete the reaction. After 30 min more, the stirring was stopped and the reaction was allowed to stand overnight at room temperature To prepare [3-(methanesulfonyl)-2-methylindol-1-yl]acetonitrile (1.01 g) (2-methyl-3-methylsulfanylindol-1-yl)acetonitrile (4.86 mmol) was dissolved in CH<sub>2</sub>Cl<sub>2</sub> (50 mL) and cooled in an ice bath to 0°. At this temperature m-chloroperoxybenzoic acid (.apprx.77% , 2.4 g) was added in portions. The ice bath was removed and the reaction mixture was allowed to reach room temperature while stirring for 1 h. To prepare 1-[(4,5-dihydro-1H-imidazol-2-yl)methyl]-3-methanesulfonyl-2-methyl-1H-indole (520 mg) N-[3-(methanesulfonyl)-2-methylindol-1-yl]acetonitrile (2.014 mmol) was mixed with ethylenediamine (2 mL) and 2 drops of CS<sub>2</sub> was added carefully. The flask was flushed with N<sub>2</sub> and placed in an oil bath preheated to 150°. The bath was maintained at 140-150° for a total of 75 min. For I: X is -S(O)<sub>n</sub>- or -C(O)-; A is (C1-6)-alkyl, aryl, heteroaryl, hydroxy(C1-6)-alkyl, or -(CH<sub>2</sub>)<sub>p</sub>-NRaRb; R<sub>1</sub>, R<sub>2</sub>, R<sub>3</sub>, and R<sub>4</sub> each independently = H, halogen, halogen(C1-6)-alkyl, (C1-6)-alkyl, hydroxy, (C1-6)-alkoxy, (C1-6)-alkylthio, (C1-6)-alkylsulfinyl, (C1-6)-alkylsulfonyl, (C1-6)-alkylsulfonylamino, (C1-6)-alkylaminosulfonyl, cyano, nitro, -NRaRb, Ph, benzyl, and benzyloxy, wherein said Ph rings are (un)substituted with (C1-6)-alkyl, halogen, cyano, nitro, halogen(C1-6)-alkyl, or (C1-6)-alkoxy. R<sub>5</sub> is H, (C1-6)-alkyl, (C1-6)-alkoxy, (C1-6)-alkoxyalkyl, (C1-6)-alkylthio, (C1-6)-alkylsulfinyl, (C1-6)-alkylsulfonyl, hydroxy(C1-6)-alkyl, hydroxy(C1-6)-alkylamino, halogen, halogen(C1-6)-alkyl, cyano, -NRaRb, -NRC-(C1-6)-alkylene-NRaRb, or R<sub>5</sub> and A together form a C2-C3 alkylene radical; R<sub>6</sub> is H or (C1-6)-alkyl; R' and R'' each independently is H or (C1-6)-alkyl; Ra, Rb, and Rc each independently = H, (C1-6)-alkyl, hydroxy(C1-6)-alkyl, (C2-6)-alkenyl, (C3-6)-cycloalkyl(C1-6)-alkyl and arylsulfonyl, or Ra and Rb together with the N they are attached may also form a 5- to 7-membered nonarom. heterocyclic ring optionally incorporating an addnl. ring heteroatom = N, O, or S; m is 1 or 2; n = 0-2 with the proviso that when n is 0, R<sub>5</sub> is not -NRaRb; and p = 0-2.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 10:41:05 ON 28 FEB 2009)

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L1           STRUCTURE UPLOADED  
L2           6 S L1 SSS SAM  
L3           2759 S L1 SSS FUL

FILE 'REGISTRY' ENTERED AT 10:58:03 ON 28 FEB 2009

FILE 'CAPLUS' ENTERED AT 10:58:09 ON 28 FEB 2009

L4           403 S L3  
L5           233981 S AGING OR WRINKLES  
L6           403 S L4 AND L3  
L7           5 S L4 AND L5

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